

10/597.022

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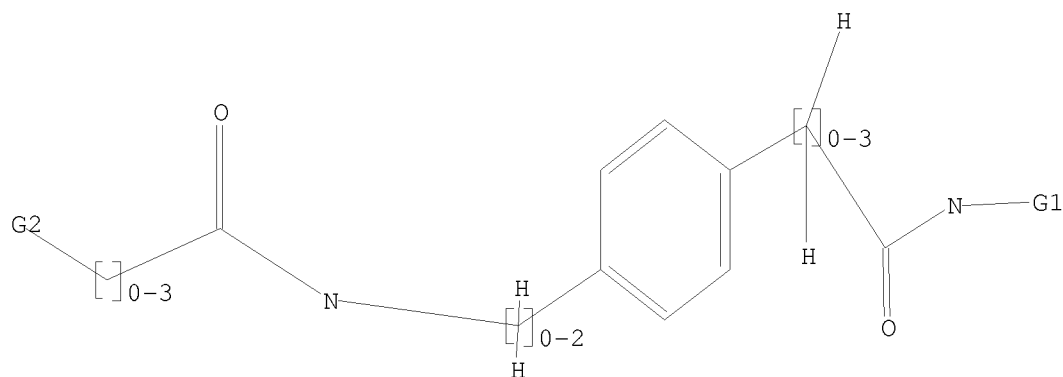
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 Ph,OH

G2 Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 12:02:29 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3922523 TO ITERATE

51.0% PROCESSED 2000000 ITERATIONS

125 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 3922523 TO 3922523

PROJECTED ANSWERS: 199 TO 291

L2 125 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

192.52

192.96

FILE 'CAPLUS' ENTERED AT 12:02:52 ON 23 AUG 2010

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Toh

23/08/2010

10/923,271

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FILE COVERS 1907 - 23 Aug 2010 VOL 153 ISS 9
FILE LAST UPDATED: 20 Aug 2010 (20100820/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

Capplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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      242615 PYRIDINE
L3      4 L2 AND PYRIDINE
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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y
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L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:      2007:1454470 CAPLUS
DOCUMENT NUMBER:      148:79064
TITLE:                Preparation of novel piperazines as agonists of the
                         $\alpha 7$  nAChR
INVENTOR(S):          Clark, Roger B.; Elbaum, Daniel
PATENT ASSIGNEE(S):    Critical Therapeutics, Inc., USA
SOURCE:               PCT Int. Appl., 345 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:         Patent
LANGUAGE:              English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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WO 2007146066	A2	20071221	WO 2007-US13425	20070606
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

CA 2659512 A1 20071221 CA 2007-2659512 20070606
 US 20080051415 A1 20080228 US 2007-811010 20070606
 EP 2044038 A2 20090408 EP 2007-809388 20070606

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

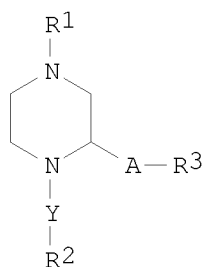
JP 2009539848 T 20091119 JP 2009-514375 20070606
 IN 2008DN10686 A 20090522 IN 2008-DN10686 20081226

PRIORITY APPLN. INFO.: US 2006-811275P P 20060606
 US 2006-852836P P 20061019
 US 2007-901240P P 20070213
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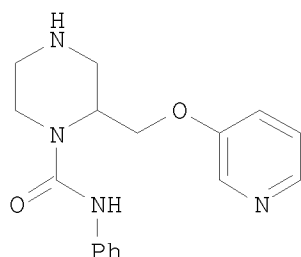
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 148:79064; MARPAT 148:79064

GI



I



II

AB The title compds. I [R¹ = H, alkyl, cycloalkyl, etc.; R² = alkyl, alkenyl, cycloalkyl, etc.; R³ = 6-membered monocyclic aryl, 5-6 membered monocyclic heteroaryl, etc.; Y = CO, CS, CH₂C(O), etc.; A = CH₂O, CH₂CH₂, etc.] that act as agonists of the $\alpha 7$ nAChR, were prepared E.g., a multi-step synthesis of II.2HCl, starting from piperazine-2-carboxylic acid.2HCl, was given. Compds. I were tested for binding affinities for $\alpha 7$ nAChR on PC12 cells and exhibited IC₅₀ values between 1 nM and 10 μ M. Also disclosed are pharmaceutical compns., methods of treating inflammatory conditions, methods of treating CNS disorders, methods for inhibiting cytokine release from mammalian cells and methods for the preparation of the novel compds. I.

IT 960532-94-9P

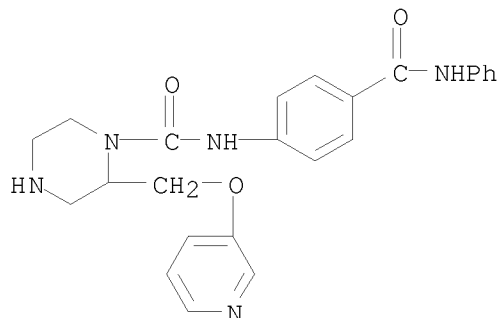
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel piperazines as agonists of the $\alpha 7$ nAChR)

10/923,271

RN 960532-94-9 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-[(phenylamino)carbonyl]phenyl]-2-[(3-pyridinyloxy)methyl]-, hydrochloride (1:2) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:5961 CAPLUS

DOCUMENT NUMBER: 138:56245

TITLE: Preparation of proline derivatives as oxytocin agonists

INVENTOR(S): Pitt, Gary Robert William; Roe, Michael Bryan; Rooker, David Philip

PATENT ASSIGNEE(S): Ferring BV, Neth.

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

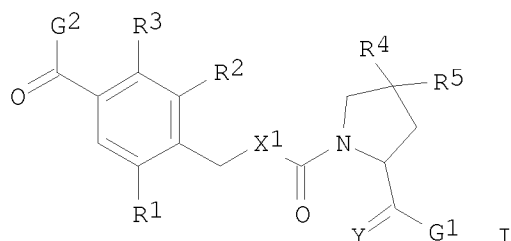
FAMILY ACC. NUM. COUNT: 1

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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2450480	A1	20030103	CA 2002-2450480	20020624
AU 2002304464	A1	20030108	AU 2002-304464	20020624

10/923,271

EP 1399436	A2	20040324	EP 2002-732974	20020624
EP 1399436	B1	20050316		
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NZ 530035	A	20040625	NZ 2002-530035	20020624
HU 2004000368	A2	20040830	HU 2004-368	20020624
HU 2004000368	A3	20070529		
JP 2005500317	T	20050106	JP 2003-507095	20020624
CN 1606553	A	20050413	CN 2002-812584	20020624
AT 291021	T	20050415	AT 2002-732974	20020624
PT 1399436	E	20050729	PT 2002-732974	20020624
ES 2239717	T3	20051001	ES 2002-732974	20020624
RU 2309156	C2	20071027	RU 2003-136152	20020624
ZA 2003009626	A	20040512	ZA 2003-9626	20031211
IN 2003DN02178	A	20071214	IN 2003-DN2178	20031212
MX 2003011980	A	20040326	MX 2003-11980	20031219
NO 2003005772	A	20031222	NO 2003-5772	20031222
US 20040235753	A1	20041125	US 2003-482102	20031224
HK 1064666	A1	20050527	HK 2004-107317	20040923
PRIORITY APPLN. INFO.:			GB 2001-15515	A 20010625
			WO 2002-GB2872	W 20020624
OTHER SOURCE(S):			MARPAT 138:56245	
GI				



AB Compds. I [G1 is an amino group; G2 is an amino group or a fused polycyclic group; X1 = O or NH; Y = O or S; R1-R3 = H, alkyl, alkoxy, F, Cl, Br; R4, R5 = H, alkoxy, benzyloxy, F; or R4R5 = :O, O(CH2)2-3O, or S(CH2)2-3S (with provisos)] were prepared as selective and potent oxytocin agonists for treatment of erectile dysfunction. Thus, 4-methyl-1-[N-[2-methyl-4-(2,3,4,5-tetrahydro-1,5-benzodiazepin-4-on-1-ylcarbonyl)benzylcarbonyl]-L-thiopropyl]perhydro-1,4-diazepine was prepared by coupling of 1-(4-aminomethyl-3-methylbenzoyl)-2,3,4,5-tetrahydro-1,5-benzodiazepin-4-one with 4-methyl-1-L-thiopropylperhydro-1,4-diazepine (prepns. given).

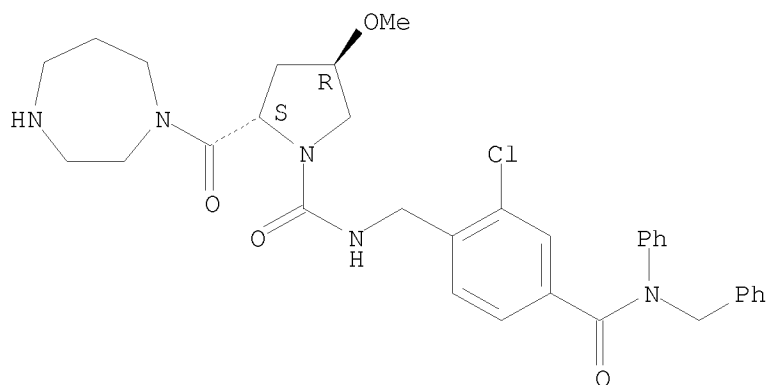
IT 1055045-69-6 1055045-70-9
RL: PRPH (Prophetic)
(Preparation of proline derivatives as oxytocin agonists)

RN 1055045-69-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

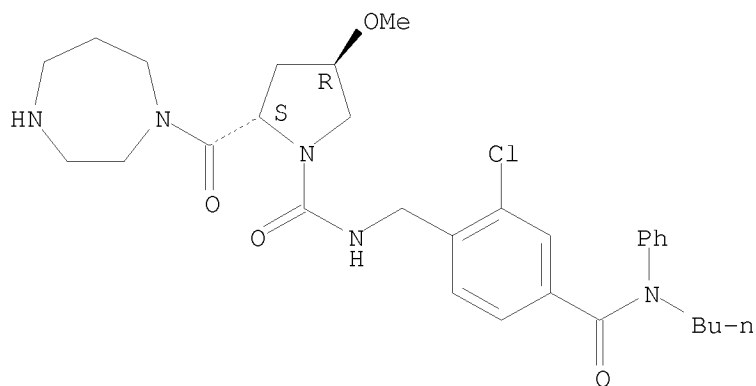
10/923,271



RN 1055045-70-9 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[[4-[(butylphenylamino)carbonyl]-2-chlorophenyl]methyl]-2-[(hexahydro-1H-1,4-diazepin-1-yl)carbonyl]-4-methoxy-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:507680 CAPLUS

DOCUMENT NUMBER: 135:92548

TITLE: Preparation of hydroxypicolinic acid derivatives for agrochemical and pharmaceutical use as fungicides

INVENTOR(S): Bacque, Eric; Barriere, Jean-Claude; Vors, Jean-Pierre; Nieto-Roman, Francisco; Villier, Alain

PATENT ASSIGNEE(S): Aventis CropScience SA, Fr.; Aventis Pharma S.A.

SOURCE: PCT Int. Appl., 100 pp.

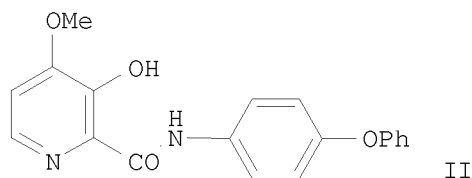
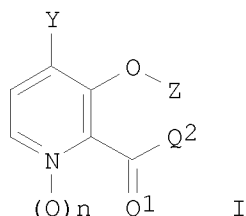
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

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WO 2001049667	A1	20010712	WO 2001-FR44	20010108
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AT 340160	T	20061015	AT 2001-903877	20010105
ES 2272440	T3	20070501	ES 2001-903877	20010105
CA 2396306	A1	20010712	CA 2001-2396306	20010108
EP 1248771	A1	20021016	EP 2001-903885	20010108
EP 1248771	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001007425	A	20021203	BR 2001-7425	20010108
JP 2003519215	T	20030617	JP 2001-550207	20010108
HU 2003000139	A2	20030628	HU 2003-139	20010108
AT 325098	T	20060615	AT 2001-903885	20010108
IN 2002MN00517	A	20060505	IN 2002-MN517	20020422
ZA 2002003830	A	20031126	ZA 2002-3830	20020514
MX 2002006671	A	20021023	MX 2002-6671	20020704
US 20060040995	A1	20060223	US 2002-169855	20020708
US 7560565	B2	20090714		
PRIORITY APPLN. INFO.:			FR 2000-140	A 20000106
			WO 2001-FR44	W 20010108
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 135:92548				
GI				



AB Hydroxypicolinic acid derivs., such as I [Q1 = O, imino, aminoimino; Q2 = alkyloxy, alkylthio, cycloalkyloxy, cycloalkylthio, amino, etc.; Y = H, OH, NH₂, N₃, CN, NO₂, alkyloxy, alkylthio, acylamino, etc.; Z = H, alkyl, aryl, allyl, propargyl, cycloalkyl, etc.; n = 0, 1], were prepared for agrochem. and pharmaceutical use as fungicides. Thus, picolinamide II was prepared by amidation of 3-hydroxy-4-methoxypyridine-2-carboxylic acid with

10/923,271

4-phenoxyaniline using 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in pyridine at 75-85° for 1-2 h. Fungicidal biol. testing data for the prepared hydroxypicolinates was not presented.

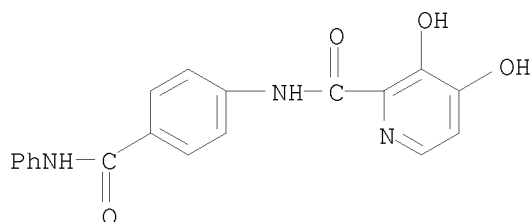
IT 1139472-96-0 1139472-99-3 1139473-33-8

RL: PRPH (Prophetic)

(Preparation of hydroxypicolinic acid derivatives for agrochemical and pharmaceutical use as fungicides)

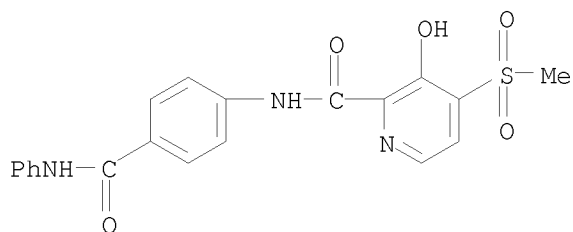
RN 1139472-96-0 CAPLUS

CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-[4-[(phenylamino)carbonyl]phenyl]- (CA INDEX NAME)



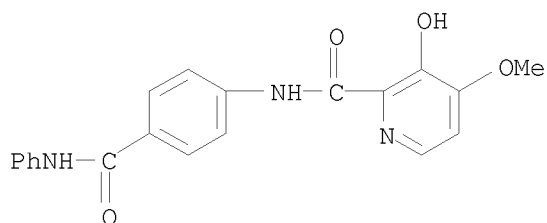
RN 1139472-99-3 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-(methylsulfonyl)-N-[4-[(phenylamino)carbonyl]phenyl]- (CA INDEX NAME)



RN 1139473-33-8 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[4-[(phenylamino)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

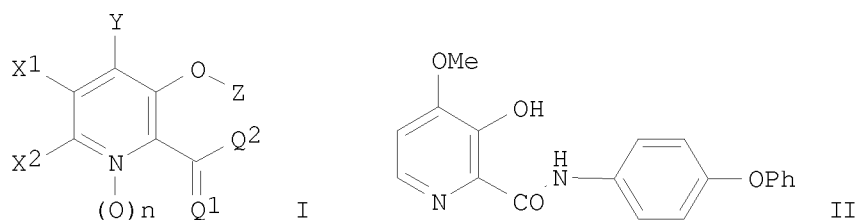
L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:507679 CAPLUS
 DOCUMENT NUMBER: 135:92547
 TITLE: Preparation of picolinic acid derivs. for agrochemical
 and therapeutic use as fungicides
 INVENTOR(S): Nieto-Roman, Francisco; Vors, Jean-Pierre; Villier,
 Alain; Lachaise, Helene; Mousques, Adeline; Hartmann,
 Benoit; Hutin, Pierre; Molina, Jose Lorenzo; Muller,
 Benoit
 PATENT ASSIGNEE(S): Aventis CropScience SA, Fr.
 SOURCE: PCT Int. Appl., 121 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049666	A1	20010712	WO 2001-FR33	20010105
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FR 2803592	A1	20010713	FR 2000-140	20000106
CA 2396299	A1	20010712	CA 2001-2396299	20010105
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EP 1244627	A1	20021002	EP 2001-903877	20010105
EP 1244627	B1	20060920		
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HU 2002003958	A2	20030328	HU 2002-3958	20010105
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JP 2003519214	T	20030617	JP 2001-550206	20010105
AT 340160	T	20061015	AT 2001-903877	20010105
ES 2272440	T3	20070501	ES 2001-903877	20010105
AT 325098	T	20060615	AT 2001-903885	20010108
IN 2002MN00572	A	20040228	IN 2002-MN572	20020506
ZA 2002003830	A	20031126	ZA 2002-3830	20020514
BG 106834	A	20030131	BG 2002-106834	20020618
MX 2002006616	A	20021023	MX 2002-6616	20020702
US 20030191113	A1	20031009	US 2002-181842	20020708
PRIORITY APPLN. INFO.:			FR 2000-140	A 20000106
			WO 2001-FR33	W 20010105

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:92547

GI



AB Picolinic acid derivs., such as I [Q1 = O, imino, aminoimino; Q2 = alkyloxy, alkylthio, cycloalkyloxy, cycloalkylthio, amino, etc.; Y = H, OH, NH₂, N₃, CN, NO₂, alkyloxy, alkylthio, acylamino, etc.; X1, X2 = H, OH, SH, NO₂, SCN, N₃, CN, halogen, alkyl, alkoxy, alkylthio, etc.; Z = H, alkyl, aryl, allyl, propargyl, cycloalkyl, etc.; n = 0, 1], were prepared for agrochem. use against plant fungal pathogens and pharmaceutical use as fungicides. Thus, picolinamide II was prepared by amidation of 3-hydroxy-4-methoxypyridine-2-carboxylic acid with 4-phenoxyaniline using 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in pyridine at 85° for 2 h. The prepared picolinic acid derivs. were tested for activity against fungal strains, such as *Alternaria brassicae* and *Septoria nodorum*.

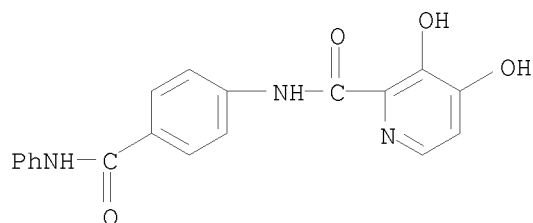
IT 1139472-96-0 1139472-99-3

RL: PRPH (Prophetic)

(Preparation of picolinic acid derivs. for agrochemical and therapeutic use as fungicides)

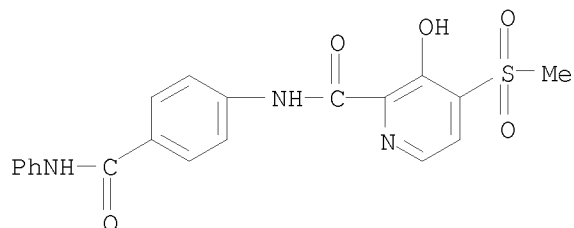
RN 1139472-96-0 CAPLUS

CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-[4-[(phenylamino)carbonyl]phenyl]- (CA INDEX NAME)



RN 1139472-99-3 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-(methanesulfonyl)-N-[4-[(phenylamino)carbonyl]phenyl]- (CA INDEX NAME)



10/923,271

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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24051528 PY<2004
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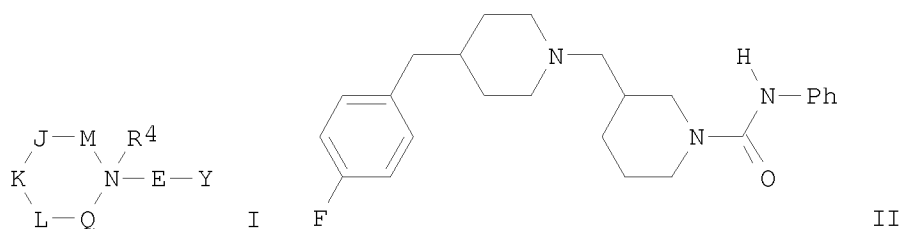
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=> d 1-5 ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 29.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:911649 CAPLUS
DOCUMENT NUMBER: 133:368908
TITLE: Preparation of heterocyclic piperidines as modulators
of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.;
Santella, Joseph B., III; Wacker, Dean A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035877	A1	20000622	WO 1999-XB30314	19991217 <--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 2000035877	A1	20000622	WO 1999-US30314	19991217 <--
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 20020119980	A1	20020829	US 2001-981833	20011018 <--
US 6759411	B2	20040706		
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US 7312222	B2	20071225		
US 20070299057	A9	20071227		
PRIORITY APPLN. INFO.:			US 1998-112714P	P 19981218
			WO 1999-US30314	19991217
			US 1999-465949	A3 19991217
			US 2001-981833	A3 20011018

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI



AB The title compds. [I; M = absent, CH₂, (4-FC₆H₄CH₂)CH, etc.; Q = CH₂, (4-FC₆H₄CH₂)CH, etc.; J, K, L = CH₂, (4-FC₆H₄CH₂)CH, etc.; E = CH₂, (CH₂)₂, etc.; Y = piperidinyl, piperazinyl, isoquinolinyl, etc. (N-substituted with CONHPh, CPh, etc.); R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day. [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

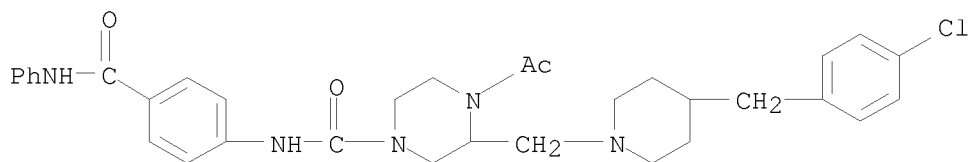
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1122255-72-4 1122256-95-4 1122258-38-1

RL: PRPH (Prophetic)

(Preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

RN 1122211-74-8 CAPLUS

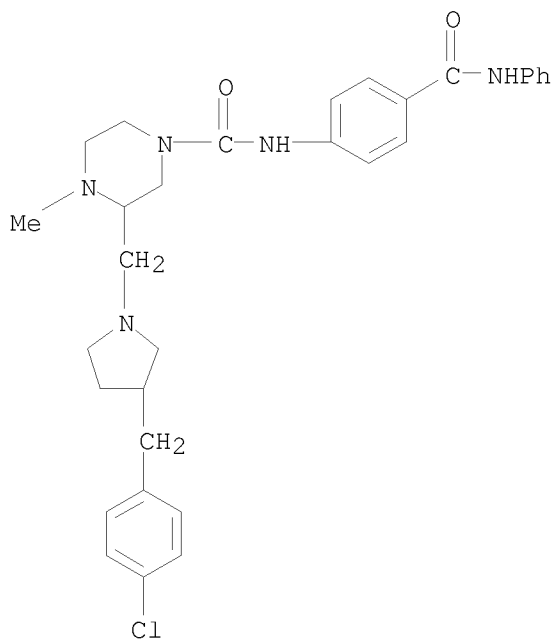
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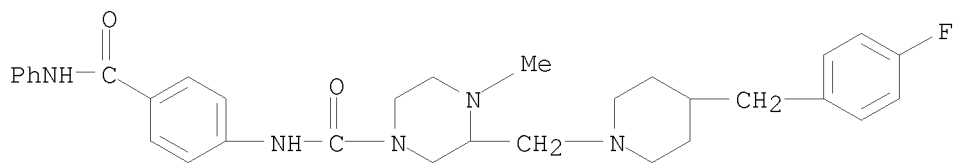
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10/923,271



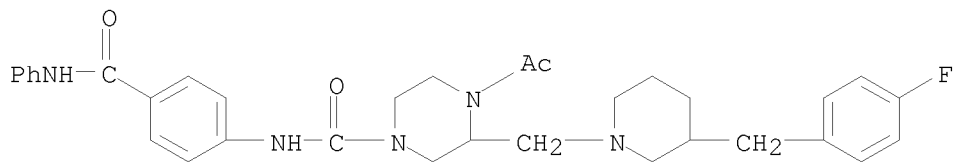
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RN 1122220-35-2 CAPLUS

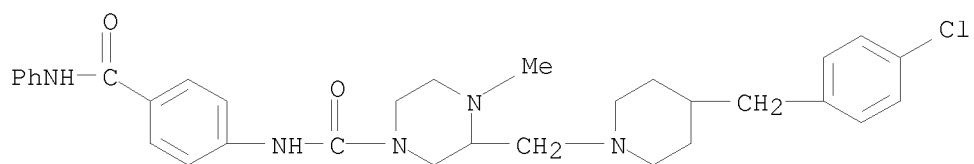
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RN 1122229-77-9 CAPLUS

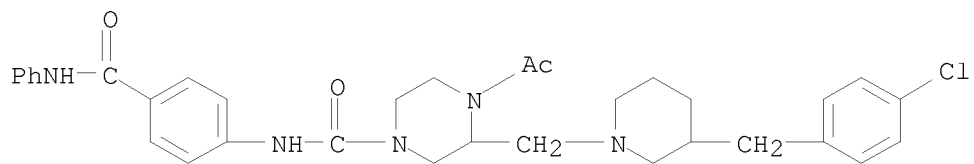
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10/923,271



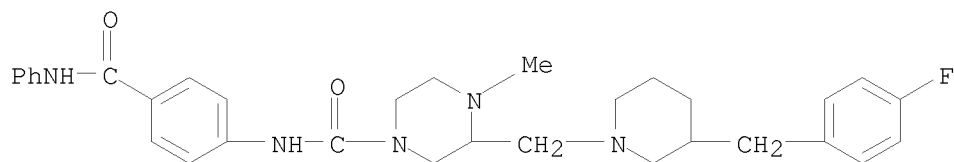
RN 1122234-20-1 CAPLUS

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RN 1122238-16-7 CAPLUS

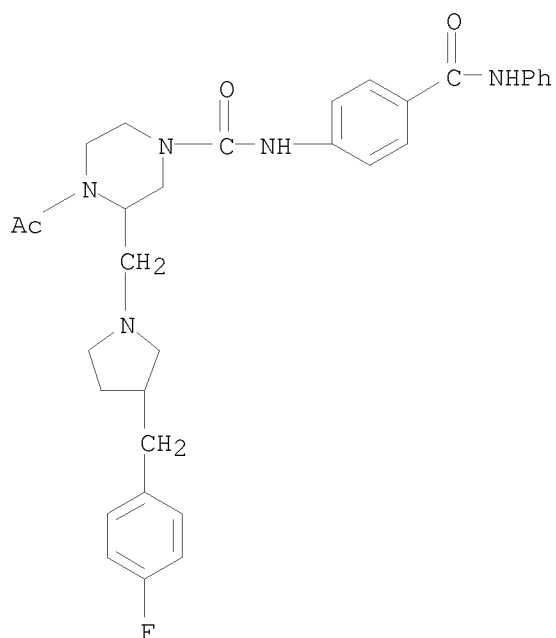
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RN 1122242-73-2 CAPLUS

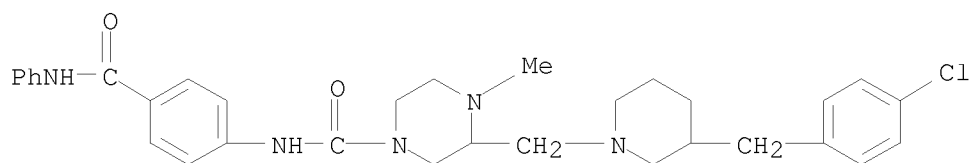
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10/923,271



RN 1122251-25-5 CAPLUS

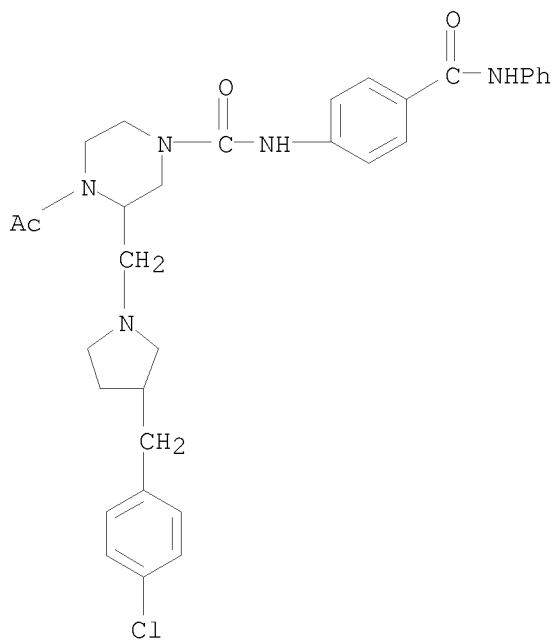
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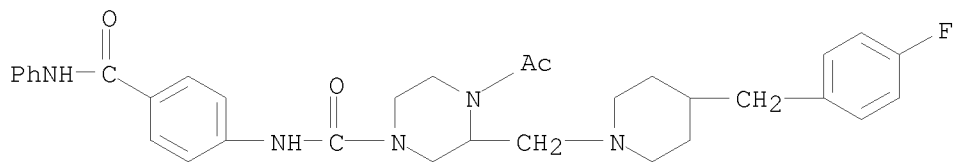
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10/923,271



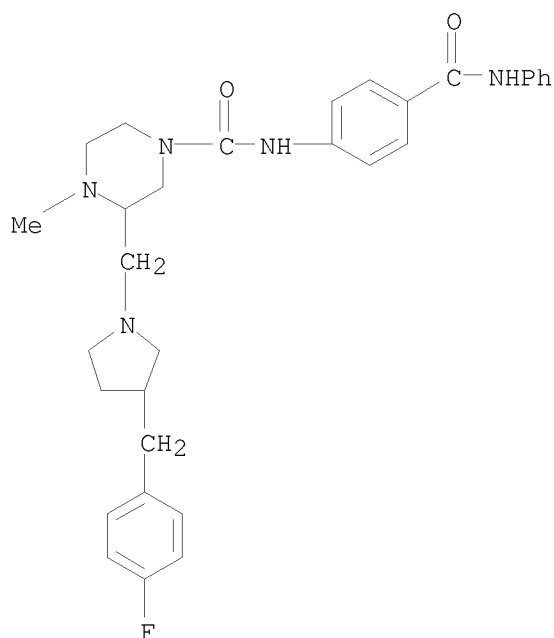
RN 1122256-95-4 CAPLUS

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RN 1122258-38-1 CAPLUS

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	INDEX NAME)	



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:911648 CAPLUS

DOCUMENT NUMBER: 133:368907

TITLE: Preparation of heterocyclic piperidines as modulators of chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA

SOURCE: PCT Int. Appl., 219 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035877	A1	20000622	WO 1999-XA30314	19991217 <--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 2000035877	A1	20000622	WO 1999-US30314	19991217 <--
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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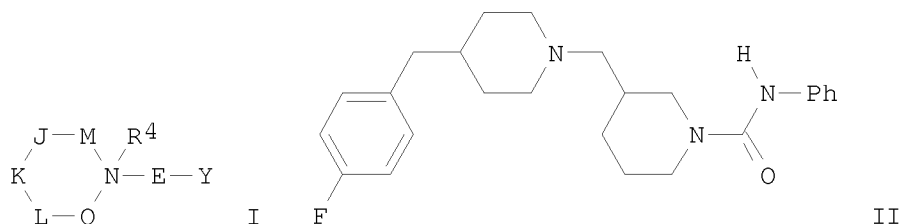
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US 20040186097	A1	20040923	US 2004-809772	20040325
US 7312222	B2	20071225		
US 20070299057	A9	20071227		

PRIORITY APPLN. INFO.:

US 1998-112714P	P	19981218
WO 1999-US30314		19991217
US 1999-465949	A3	19991217
US 2001-981833	A3	20011018

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI



AB The title compds. [I; M = absent, CH₂, (4-FC₆H₄CH₂)CH, etc.; Q = CH₂, (4-FC₆H₄CH₂)CH, etc.; J, K, L = CH₂, (4-FC₆H₄CH₂)CH, etc.; E = CH₂, (CH₂)₂, etc.; Y = piperidinyl, piperazinyl, isoquinolinyl, etc. (N-substituted with CONHPh, CPh, etc.); R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day. [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 1122156-42-6 1122160-86-4 1122166-18-0
1122173-82-3 1122177-73-4 1122182-20-0
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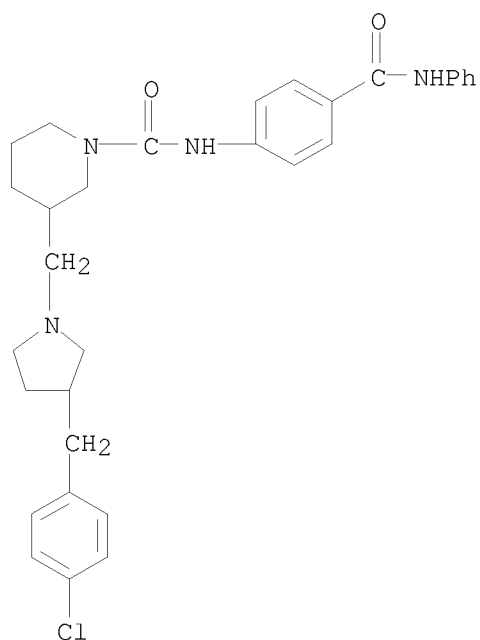
RL: PRPH (Prophetic)

(Preparation of heterocyclic piperidines as modulators of chemokine receptor activity)

RN 1122156-42-6 CAPLUS

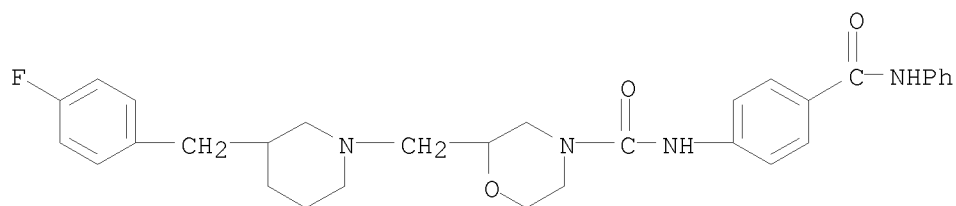
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10/923,271



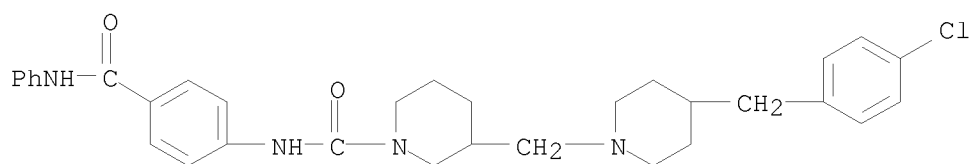
RN 1122160-86-4 CAPLUS

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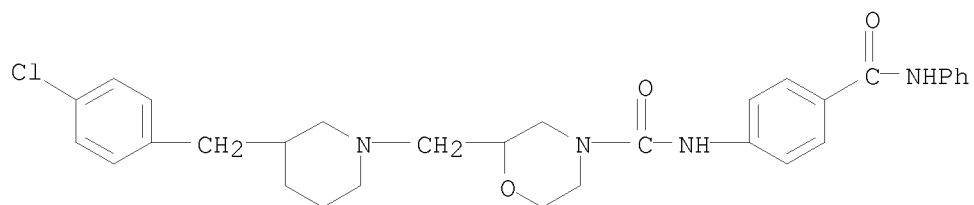
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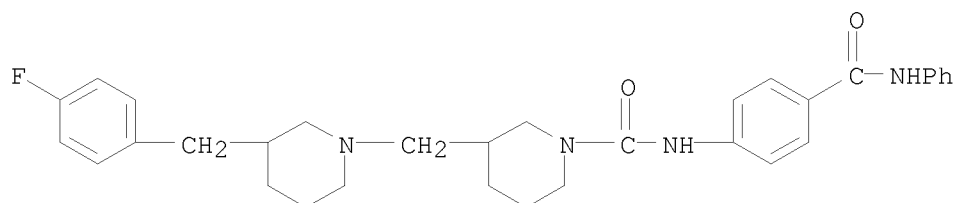
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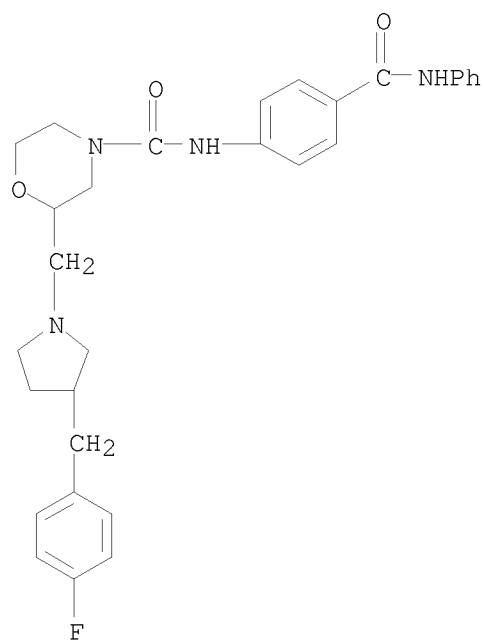
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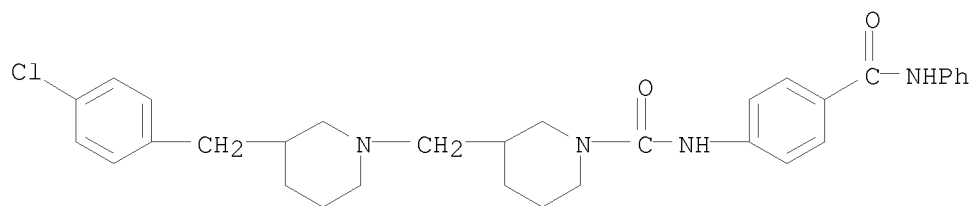
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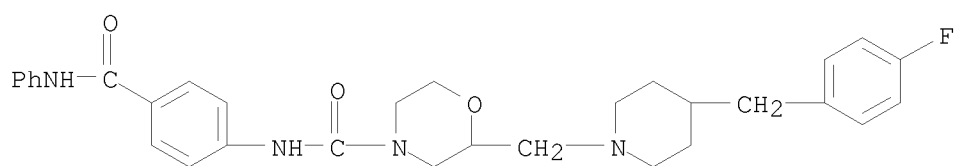
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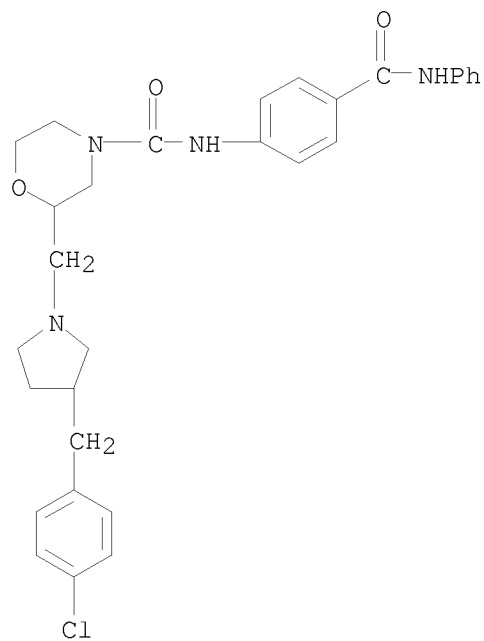
10/923,271



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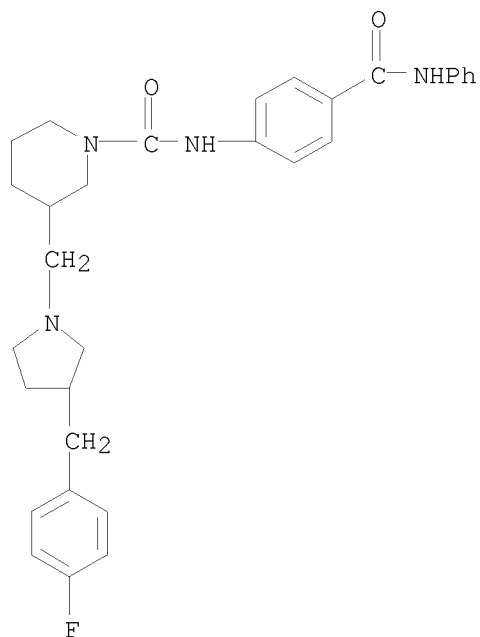


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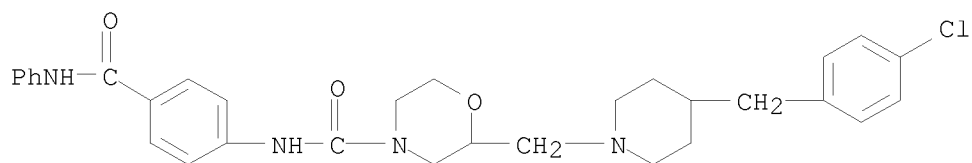


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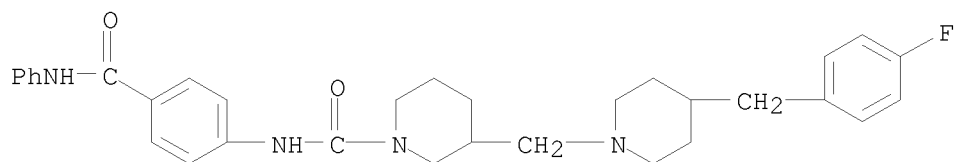
10/923,271



RN 1122203-76-2 CAPLUS
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RN 1122207-07-1 CAPLUS
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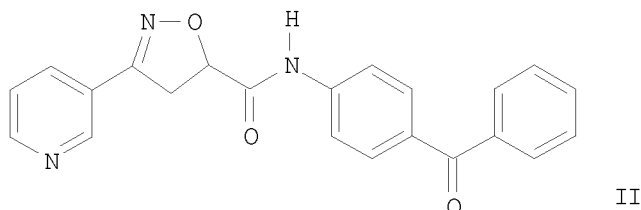
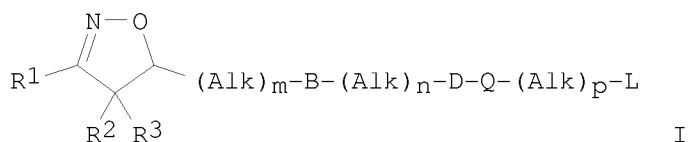


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/923,271

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:260283 CAPLUS
DOCUMENT NUMBER: 132:293757
TITLE: Preparation of novel 4,5-dihydroisoxazole derivatives
and their use as pharmaceuticals for T cell-mediated
diseases
INVENTOR(S): Freyne, Eddy Jean Edgard; Andres-Gil, Jose Ignacio;
Deroose, Frederik Dirk; Petit, Davy Petrus Franciscus
Maria; Matesanz-Ballesteros, Maria Encarnacion;
Alvarez Escobar, Rosa Maria
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021959	A1	20000420	WO 1999-EP7803	19991007 <--
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 763460	B2	20030724	AU 2000-10393	19991007 <--
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US 6583141	B1	20030624	US 2001-807149	20010406 <--
HK 1038565	A1	20040618	HK 2002-100274	20020115
US 20040019059	A1	20040129	US 2003-403543	20030331
US 7414048	B2	20080819		
PRIORITY APPLN. INFO.:			EP 1998-203394	A 19981009
			WO 1999-EP7803	W 19991007
			US 2001-807149	A3 20010406
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 132:293757		
GI				



AB The invention concerns title compds. I and their N-oxides, pharmaceutically acceptable addition salts, quaternary ammonium salts, and stereochem. isomeric forms [wherein m, n, p = 0 or 1; R1 = (un)substituted pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or phenyl; B = amide, ketone, or oxadiazole; D = (un)substituted aryl or heterocyclyl; Q = bond, CO, (un)substituted NH, CONH, CH2, CH(:CH2), C(:NH), SO, SO, 3-oxobutenyl, pyrazole, isoxazole, or thiazole nucleus; L = (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-6 alkyloxy, or (un)substituted C1-6 alkyl]. Also disclosed is a process for their preparation, compns. comprising them, and their medical use. The compds. show growth inhibitory activity against T cell blasts and keratinocytes in vitro. The compds. are claimed for use in the treatment of prevention of rheumatic, arthritic, and inflammatory diseases, psoriasis, T cell leukemia, transplant rejection, and graft-vs.-host disease. For instance, base-catalyzed cycloaddn. of N-hydroxy-3-pyridinecarboximidoyl chloride with Me 2-propenoate gave 98% Me 4,5-dihydro-3-(3-pyridinyl)-5-isoxazolecarboxylate, which was amidated with (4-aminophenyl)phenylmethanone to give 58% title compound II. At a concentration of 10⁻⁶ M, II gave 81% inhibition of T cell blast formation in human whole blood.

IT 1097991-24-6 1097991-85-9

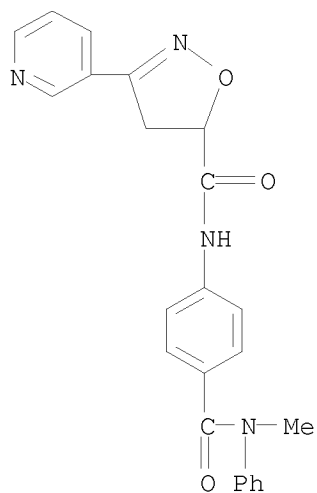
RL: PRPH (Prophetic)

(Preparation of novel 4,5-dihydroisoxazole derivatives and their use as pharmaceuticals for T cell-mediated diseases)

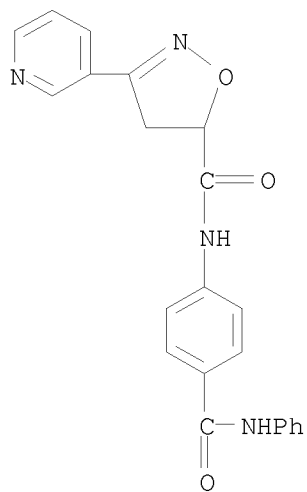
RN 1097991-24-6 CAPLUS

CN 5-Isoxazolecarboxamide, 4,5-dihydro-N-[4-(methylphenylamino)carbonyl]phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)

10/923,271



RN 1097991-85-9 CAPLUS
CN 5-Isoxazolecarboxamide, 4,5-dihydro-N-[4-[(phenylamino)carbonyl]phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:733031 CAPLUS
DOCUMENT NUMBER: 131:337358
TITLE: Preparation of dolastatin 15 derivatives as anticancer agents
INVENTOR(S): Ritter, Kurt; Janssen, Bernd; Haupt, Andreas; Kling, Andreas; Barlozzari, Teresa; Amberg, Wilhelm
PATENT ASSIGNEE(S): BASF A.-G., Germany

10/923,271

SOURCE: U.S., 42 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5985837	A	19991116	US 1998-112249	19980708 <--
CA 2332641	A1	20000120	CA 1999-2332641	19990623 <--
WO 2000002906	A1	20000120	WO 1999-US14099	19990623 <--
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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HU 2001003560	A2	20020228	HU 2001-3560	19990623 <--
HU 2001003560	A3	20020528		
JP 2002520335	T	20020709	JP 2000-559135	19990623 <--
NO 2001000046	A	20010302	NO 2001-46	20010104 <--
MX 2001000033	A	20010521	MX 2001-33	20010108 <--
US 20010018422	A1	20010830	US 2001-756593	20010108 <--
ZA 2001000169	A	20020108	ZA 2001-169	20010108 <--
PRIORITY APPLN. INFO.:			US 1998-112249	A 19980708
			WO 1999-US14099	W 19990623

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 131:337358

AB Dolastatin 15 derivs. A-B-D-E-F-G [A, B, D, E are certain amino acid residues; F is an aminocycloalkanecarboxylic acid residue; G is (un)substituted amino, hydrazido, aminoxy, oximato, arylalkyl, heteroarylalkyl, aryl, heteroaryl, alkoxy carbonylalkyl, aryloxy carbonylalkyl, alkoxy carbonyl, aryloxy carbonyl, aminocarbonylalkyl, aminocarbonyl, alkylcarbonylalkyl, alkylcarbonyl, arylcarbonylalkyl, arylcarbonyl, alkylsulfinylalkyl, alkylsulfinyl, arylsulfinylalkyl, arylsulfinyl, alkylsulfonylalkyl, alkylsulfonyl, arylsulfonylalkyl, or arylsulfonyl] were prepared as anticancer agents. Thus, Me2Val-Val-MeVal-Pro-NHC6H4CONMeOMe-2 (Me2Val = N,N-dimethylvaline, MeVal = N-methylvaline), prepared via amidation, showed IC50 = 4 x 10⁻⁷ mol/L in a cytotoxicity assay using HT-29 colon carcinoma cells.

IT 1099581-70-0 1099581-82-4 1099582-07-6
1099582-09-8 1099583-54-6 1099584-87-8
1099584-91-4 1099585-10-0 1099585-56-4
1099585-78-0 1099585-82-6

RL: PRPH (Prophetic)

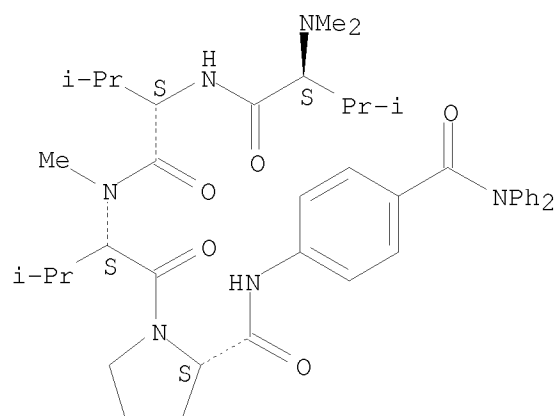
(Preparation of dolastatin 15 derivatives as anticancer agents)

RN 1099581-70-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

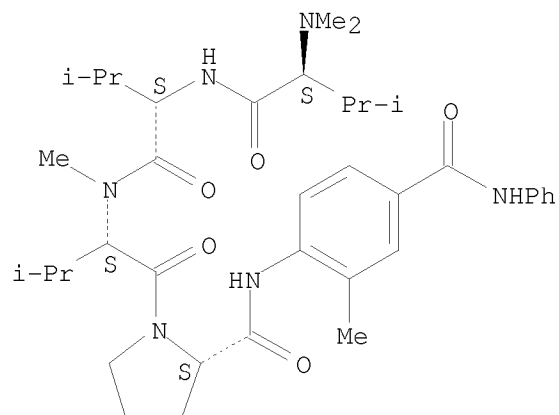
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Absolute stereochemistry.



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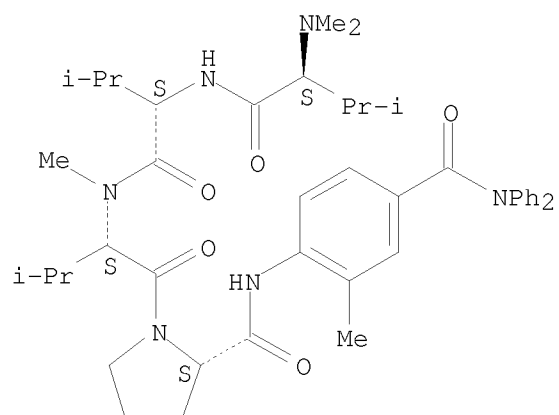
Absolute stereochemistry.



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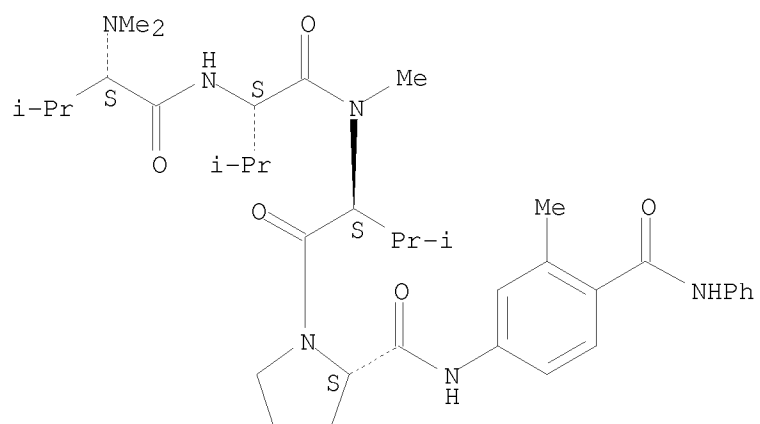
Absolute stereochemistry.

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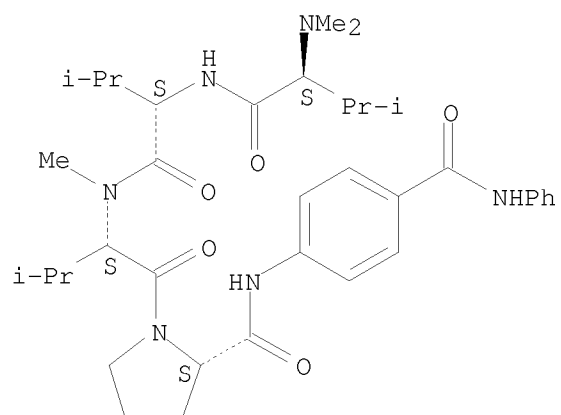
Absolute stereochemistry.



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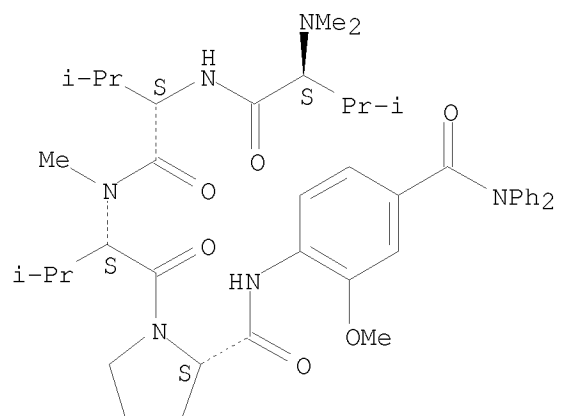
Absolute stereochemistry.

10/923,271



RN 1099584-87-8 CAPLUS
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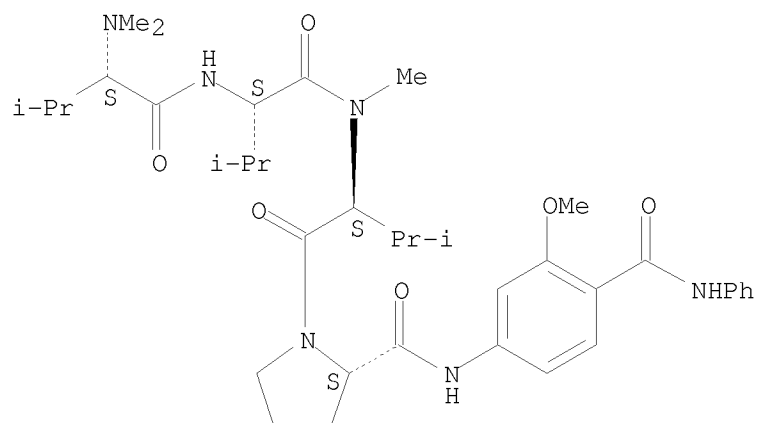
Absolute stereochemistry.



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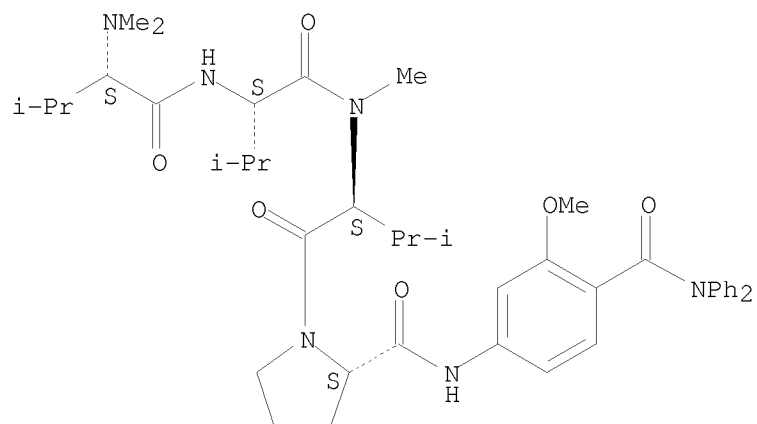
Absolute stereochemistry.

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RN 1099585-10-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

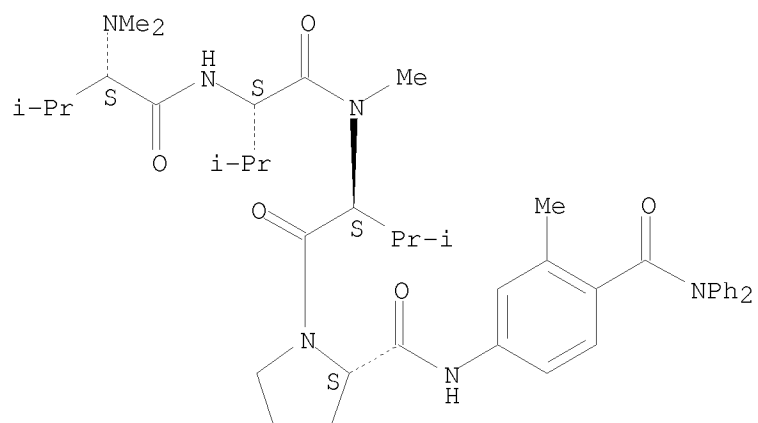
Absolute stereochemistry.



RN 1099585-56-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

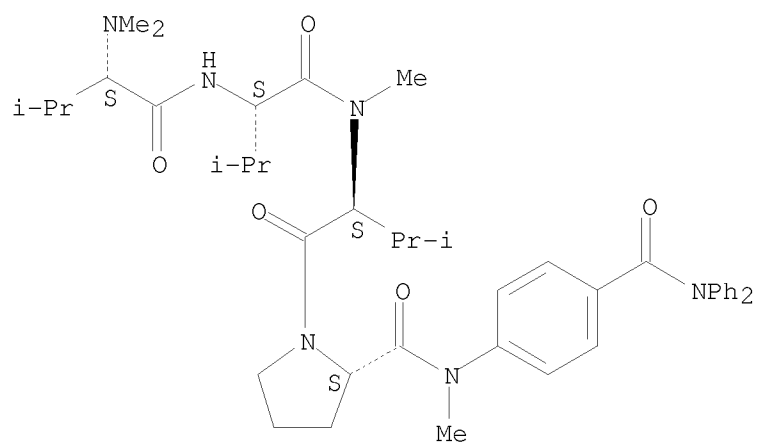
Absolute stereochemistry.

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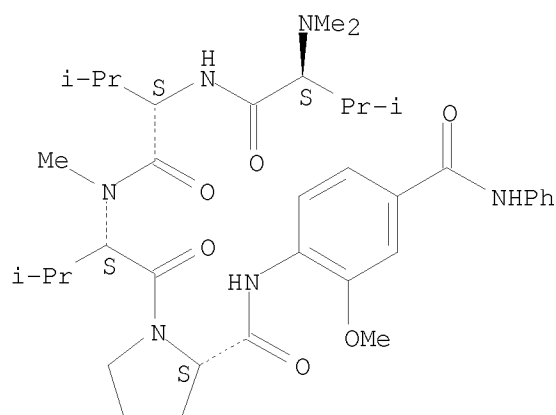
RN 1099585-78-0 CAPLUS
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Absolute stereochemistry.



RN 1099585-82-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



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OS.CITING REF COUNT:      4      THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
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REFERENCE COUNT:          23      THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
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L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:315814 CAPLUS

DOCUMENT NUMBER: 120:315814

ORIGINAL REFERENCE NO.: 120:55289a, 55292a

TITLE: Dual functional anti-inflammatory and immunosuppressive agents

INVENTOR(S): Goldstein, David M.; Hwang, San-Bao; Scannell, Ralph
T.; Shen, T. Y.

PATENT ASSIGNEE(S): Cytomed, Inc., USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404537	A2	19940303	WO 1993-US7728	19930816 <--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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EP 656004	A1	19950607	EP 1993-920131	19930816 <--
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CN 1090284	A	19940803	CN 1993-117782	19930821 <--
PRIORITY APPLN. INFO.:			US 1992-933395	A 19920820
			WO 1993-US7728	W 19930816

OTHER SOURCE(S): MARPAT 120:315814

AB Platelet activating factor (PAF) receptor antagonists of diverse structures are imparted with 5-lipoxygenase inhibiting activity by adding a moiety such as a hydroxamate, hydroxyurea, oxalkane, thioalkane, quinolylmethoxy, or amidohydroxyurea to the PAF receptor antagonist at a position on the PAF antagonist mol. that demonstrates "bulk tolerance",

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i.e., the ability to accommodate functionality without the significant loss of PAF activity.

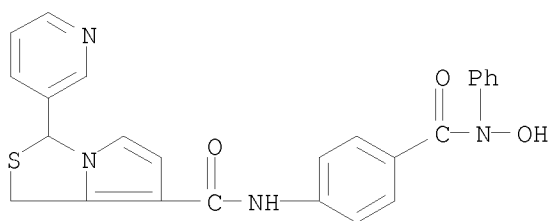
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1237010-21-7

RL: PRPH (Prophetic)

(Dual functional anti-inflammatory and immunosuppressive agents)

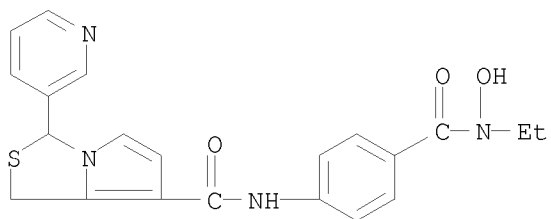
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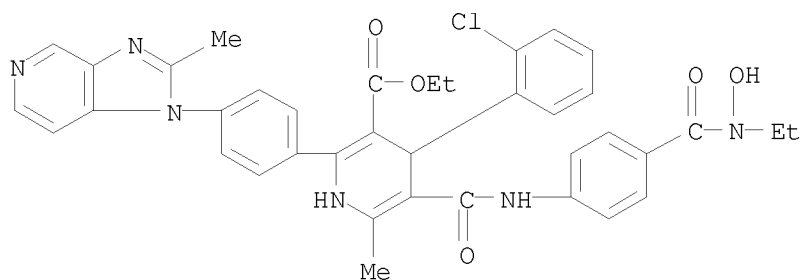
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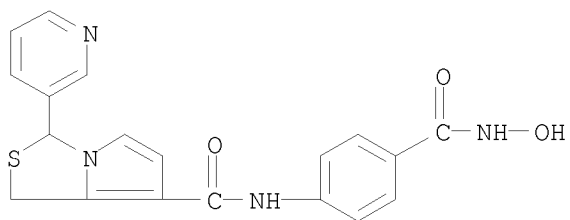
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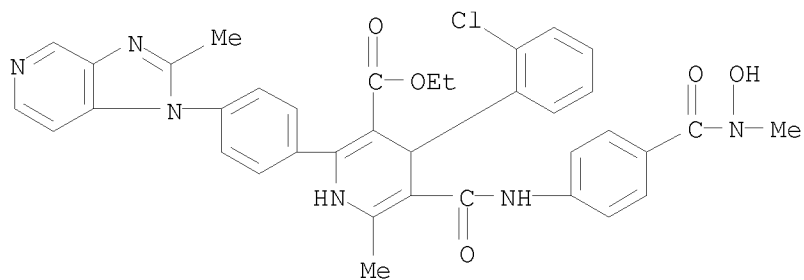
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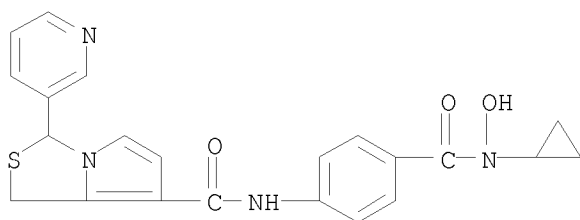
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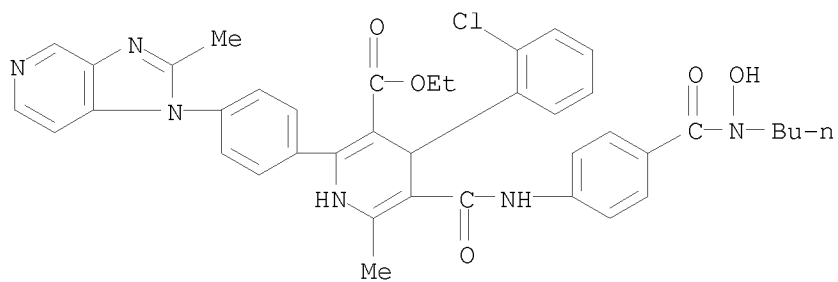
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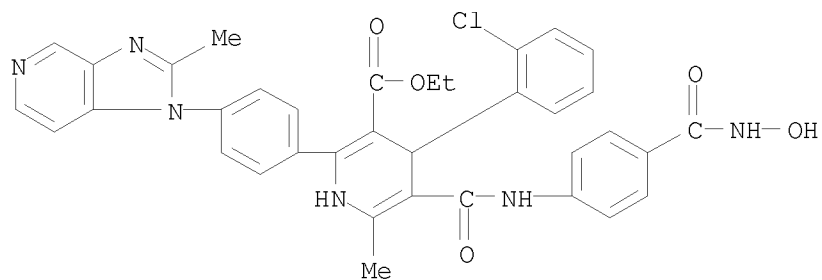


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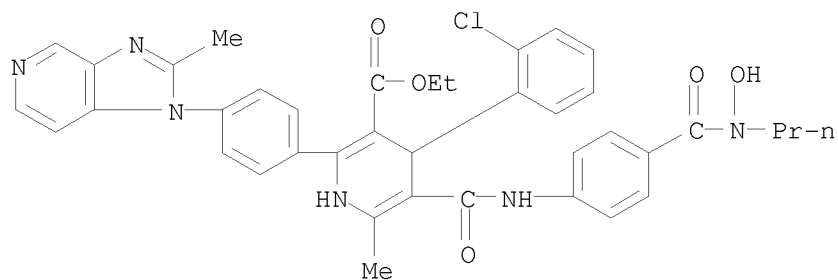


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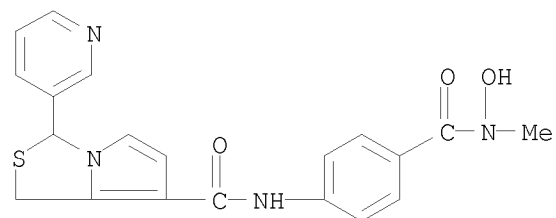
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RN 1237010-13-7 CAPLUS
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RN 1237010-21-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



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REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT